Compositions comprising uscharin or salts thereof have been reported to be usable for treatment of medical conditions related to cell proliferation. For example, US patent No 6,342,490 and WO- 9852562 both describe compositions comprising uscharin or salts thereof and the use of uscharin to combat cell proliferation, e.g. in the treatment of cancer.

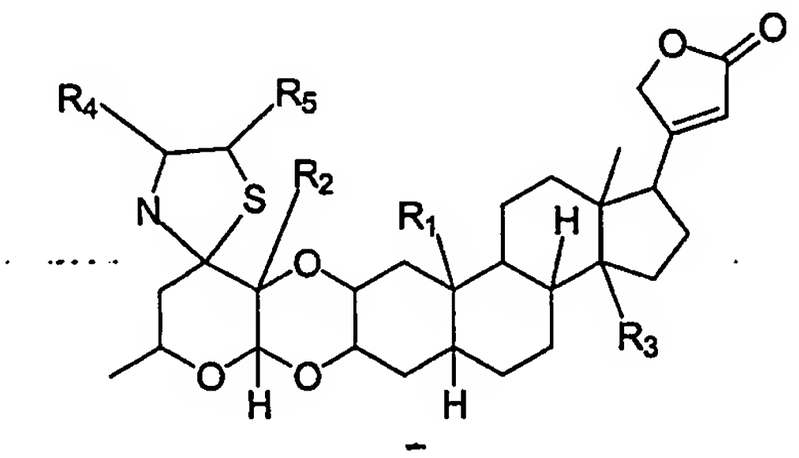
Some of the known cardenolide glycosides, f.e. calotropin and uzarigenin, are cytotoxic for cell cultures but are not mentioned to show *in vivo* tumor-inhibiting activity. Also uscharin has been shown to have some cytotoxic activity on tumor cells *in vitro*. In addition, uscharin was also described to have *in vivo* tumor-inhibiting effects, as for instance described in US patent No 6,342,490. Derivatives of uscharin have not been reported so far to be useful for medical applications.

It is a general object of the present invention to provide novel cardenolide glycosides, which have a cytotoxic activity. It is another general object of the present invention to provide novel cardenolide glycosides, which can be exploited in medical applications.

SUMMARY

In a first aspect, the present invention relates to a compound of the formula I or a pharmaceutically acceptable salt thereof,

formula I



wherein R^1 is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, alkyloxy, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkylthiocarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthiocarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkoxythiocarbonyl, cycloalkylthioalkyl,

from the group indicated in above; wherein R^2 and R^3 are hydroxyl and wherein R^4 and R^5 are hydrogen or alkyl.

In another preferred embodiment, the invention relates to an uscharin derivative having the formula I, wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated above; wherein R^2 and R^3 are hydroxyl and wherein R^4 and R^5 are hydrogen.

Another further embodiment of the invention relates to a compound of formula I, wherein R^1 is selected from the group comprising alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het^1oxyalkyl, Het^1alkoxycarbonyl, Het^1oxycarbonyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1aryloxycarbonyl, Het^1aralkoxycarbonyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^1carbonyloxyalkyl, Het^1alkylcarbonyloxyalkyl, Het^1aralkylcarbonyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2oxycarbonyl, Het^2alkoxycarbonyl, Het^2aralkoxycarbonyl, Het^2aryloxycarbonyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, Het^2carbonyloxyalkyl, Het^2alkylcarbonyloxyalkyl, Het^2aralkylcarbonyloxyalkyl, $CR^6{=}NR^7$, $CR^6{=}N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^1 is optionally substituted by one or more substituents independently selected from the group as indicated above,

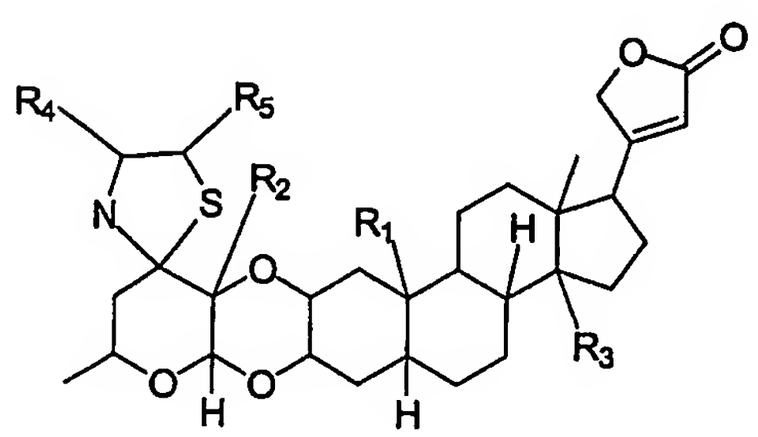
wherein R^2 and R^3 are hydroxyl and wherein R^4 is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula I; and wherein R^5 is hydrogen.

According to this embodiment, this compound may also be represented by the formula III:

CLAIMS

1. A compound of the formula I or a pharmaceutically acceptable salt thereof,

formula I



wherein R^1 is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, alkyloxy, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkylthiocarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthiocarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkoxythiocarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, arylthiocarbonyl, aralkoxycarbonyl, arylalkylthiocarbonyl, aryloxyalkyl, arylthioalkyl, haloalkyl, hydroxyalkyl, aralkanoyl, aroyl, aryloxycarbonylalkyl, aryloxyalkanoyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het^1, Het^1alkyl, Het^1oxyalkyl, Het^1aryl, Het^1aralkyl, Het^1cycloalkyl, Het^1carbonyl, Het^1alkoxycarbonyl, Het^1alkylthiocarbonyl, Het^1oxycarbonyl, Het^1thiocarbonyl, Het^1alkanoyl, Het^1aralkanoyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1aryloxycarbonyl, Het^1aralkoxycarbonyl, Het^1aroyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^1carbonyloxyalkyl, Het^1alkylcarbonyloxyalkyl, Het^1aralkylcarbonyloxyalkyl, Het^2alkyl; Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aralkyl, Het^2carbonyl, Het^2oxycarbonyl, Het^2thiocarbonyl, Het^2alkanoyl, Het^2alkylthiocarbonyl, Het^2alkoxycarbonyl, Het^2aralkanoyl, Het^2aralkoxycarbonyl, Het^2aryloxycarbonyl, Het^2aroyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, Het^2carbonyloxyalkyl, Het^2alkylcarbonyloxyalkyl, Het^2aralkylcarbonyloxyalkyl, cyano, aminocarbonyl, aminoalkanoyl, aminoalkyl, $CR^6=NR^7$ or $CR^6=N(OR^7)$, with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkyloxy, alkylsilyloxy, arylsilyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, haloalkyloxy, hydroxyalkyloxy, aralkanoyloxy, aroyloxy, aryloxycarbonylalkyloxy, formyloxy, Het^1alkyloxy, Het^1oxy, Het^1oxyalkyloxy, Het^1aryloxy, Het^1aralkyloxy, Het^1cycloalkyloxy, Het^1carbonyloxy, Het^1oxycarbonyloxy, Het^1alkanoyloxy, Het^1aralkanoyloxy, Het^1aryloxyalkyloxy, Het^1aroyl, Het^2oxy, Het^2alkyloxy; Het^2oxyalkyloxy, Het^2aralkyloxy, Het^2cycloalkyloxy, Het^2alkanoyloxy, Het^2aralkanoyloxy, Het^2carbonyloxyl, Het^2aryloxy, Het^2aryloxyalkyloxy,

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl, aryl, Het^1, Het^2, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkyl$S(=O)_t$, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het^1, Het^2, Het^1alkyl, Het^2alkyl, Het^1amino, Het^2amino, Het^1alkylamino, Het^2alkylamino, Het^1thio, Het^2thio, Het^1alkylthio, Het^2alkylthio, Het^1oxy and Het^2oxy, OR^8, SR^8, $SO_2NR^8R^9$, $SO_2N(OH)R^8$, CN, $CR^8{=}NR^9$, $S(O)R^8$, SO_2R^8, $CR^8{=}N(OR^9)$, N_3, NO_2, NR^8R^9, $N(OH)R^8$, $C(O)R^8$, $C(S)R^8$, CO_2R^8, $C(O)SR^8$, $C(O)NR^8R^9$, $C(S)NR^8R^9$, $C(O)N(OH)R^9$, $C(S)N(OH)R^8$, $NR^8C(O)R^9$, $NR^8C(S)R^9$, $N(OH)C(O)R^9$, $N(OH)C(S)R^8$, $NR^8CO_2R^9$, $NR^8C(O)NR^9R^{10}$, and $NR^8C(S)NR^9R^{10}$, $N(OH)CO_2R^8$, $NR^8C(O)SR^9$, $N(OH)C(O)NR^8R^9$, $N(OH)C(S)NR^8R^9$, $NR^8C(O)N(OH)R^9$, $NR^8C(S)N(OH)R^9$, $NR^8SO_2R^9$, $NHSO_2NR^8R^9$, $NR^8SO_2NHR^9$, $P(O)(OR^8)(OR^9)$,

with t being an integer between 1 and 2, and R^8 R^9 and R^{10} being each independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^4 is selected from the group comprising hydrogen, oxo, is replaced by a double bond between the N atom and the C carbon atom on the N-containing heterocyclic ring of formula I; hydroxyl, alkyl, alkenyl, alkynyl, alkanediyl, alkyloxy, alklylthio, alkylamino, alkyloxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl, alkanoyl, cycloalkylcarbonylalkyl,

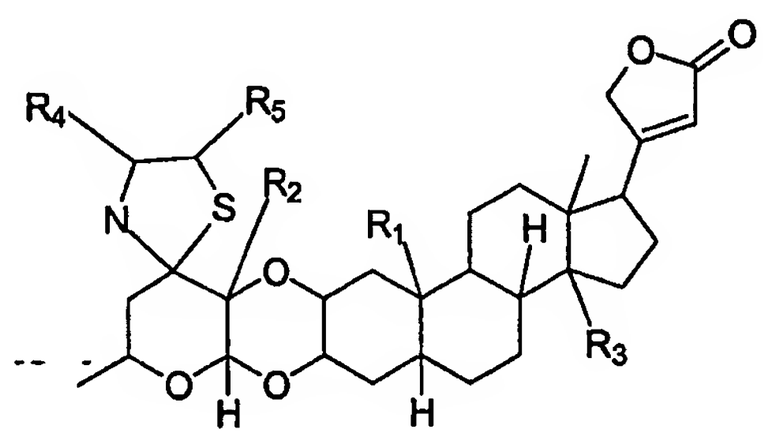
cycloalkyl, cycloalkyloxy, cycloalkylthio, cycloalkylamino, cycloalkylalkyl, cycloalkylalkanoyl, aryl, aralkyl, arylalkenyl, arylcarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aryloxyalkyl, haloalkyloxy, haloalkylthio, haloalkylamino , hydroxyalkyl, aralkanoyl, aryloxycarbonylalkyl, aryloxyalkanoyl, Het^1, Het^1alkyl, Het^1oxy, Het^1oxyalkyl, Het^1aryl, Het^1aralkyl, Het^1cycloalkyl, Het^1aryloxyalkyl, Het^1aroyl, Het^2, Het^2oxy, Het^2alkyl; Het^2oxyalkyl, Het^2aralkyl, Het^2cycloalkyl, Het^2aryl, Het^2alkanoyl, Het^2aralkanoyl, Het^2aroyl, Het^2aryloxyalkyl, aminocarbonyl, aminoalkanoyl, aminoalkyl, optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl, aryl, Het^1, Het^2, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, $alkylS(=O)_t$, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, aylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het^1, Het^2, Het^1alkyl, Het^2alkyl, Het^1amino, Het^2amino, Het^1alkylamino, Het^2alkylamino, Het^1thio, Het^2thio, Het^1alkylthio, Het^2alkylthio, Het^1oxy and Het^2oxy, OR^{11}, SR^{11}, $SO_2NR^{11}R^{12}$, $SO_2N(OH)R^{11}$, CN, $CR^{11}=NR^{12}$, $S(O)R^{11}$, SO_2R^{11}, $CR^{11}=N(OR^{12})$, N_3, NO_2, $NR^{11}R^{12}$, $N(OH)R^{11}$, $C(O)R^{11}$, $C(S)R^{11}$, CO_2R^{11}, $C(O)SR^{11}$, $C(O)NR^{11}R^{12}$, $C(S)NR^{11}R^{12}$, $C(O)N(OH)R^{12}$, $C(S)N(OH)R^{11}$, $NR^{11}C(O)R^{12}$, $NR^{11}C(S)R^{12}$, $N(OH)C(O)R^{12}$, $N(OH)C(S)R^{11}$, $NR^{11}CO_2R^{12}$, $NR^{11}C(O)NR^{12}R^{13}$, and $NR^{11}C(S)NR^{12}R^{13}$, $N(OH)CO_2R^{11}$, $NR^{11}C(O)SR^{12}$, $N(OH)C(O)NR^{11}R^{12}$, $N(OH)C(S)NR^{11}R^{12}$, $NR^{11}C(O)N(OH)R^{12}$, $NR^{11}C(S)N(OH)R^{12}$, $NR^{11}SO_2R^{12}$, $NHSO_2NR^{11}R^{12}$, $NR^{11}SO_2NHR^{12}$, $P(O)(OR^{11})(OR^{12})$, wherein t is an integer between 1 and 2, R^{11}, R^{12} and R^{13} are each independently selected from the group comprising hydrogen, alkyl, alkenyl, and alkynyl; and

wherein R^5 is selected from the group comprising hydrogen, oxo, hydroxyl, alkyl, alkenyl, alkynyl, alkanediyl, alkyloxy, alkyloxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl, alkanoyl, cycloalkylcarbonylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkanoyl, aryl, aralkyl, arylalkenyl, arylcarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aryloxyalkyl, haloalkyl, hydroxyalkyl, aralkanoyl, aryloxycarbonylalkyl, aryloxyalkanoyl, Het^1, Het^1alkyl, Het^1oxy, Het^1oxyalkyl, Het^1aryl, Het^1aralkyl, Het^1cycloalkyl, Het^1aryloxyalkyl, Het^1aroyl, Het^2, Het^2oxy, Het^2alkyl; Het^2oxyalkyl, Het^2aralkyl, Het^2cycloalkyl, Het^2aryl, Het^2alkanoyl, Het^2aralkanoyl, Het^2aroyl, Het^2aryloxyalkyl, aminocarbonyl, aminoalkanoyl, aminoalkyl, optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl,

aryl, Het^1, Het^2, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkyl$S(=O)_t$, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, aylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het^1, Het^2, Het^1alkyl, Het^2alkyl, Het^1amino, Het^2amino, Het^1alkylamino, Het^2alkylamino, Het^1thio, Het^2thio, Het^1alkylthio, Het^2alkylthio, Het^1oxy and Het^2oxy, OR^{11}, SR^{11}, $SO_2NR^{11}R^{12}$, $SO_2N(OH)R^{11}$, CN, $CR^{11}=NR^{12}$, $S(O)R^{11}$, SO_2R^{11}, $CR^{11}=N(OR^{12})$, N_3, NO_2, $NR^{11}R^{12}$, $N(OH)R^{11}$, $C(O)R^{11}$, $C(S)R^{11}$, CO_2R^{11}, $C(O)SR^{11}$, $C(O)NR^{11}R^{12}$, $C(S)NR^{11}R^{12}$, $C(O)N(OH)R^{12}$, $C(S)N(OH)R^{11}$, $NR^{11}C(O)R^{12}$, $NR^{11}C(S)R^{12}$, $N(OH)C(O)R^{12}$, $N(OH)C(S)R^{11}$, $NR^{11}CO_2R^{12}$, $NR^{11}C(O)NR^{12}R^{13}$, and $NR^{11}C(S)NR^{12}R^{13}$, $N(OH)CO_2R^{11}$, $NR^{11}C(O)SR^{12}$, $N(OH)C(O)NR^{11}R^{12}$, $N(OH)C(S)NR^{11}R^{12}$, $NR^{11}C(O)N(OH)R^{12}$, $NR^{11}C(S)N(OH)R^{12}$, $NR^{11}SO_2R^{12}$, $NHSO_2NR^{11}R^{12}$, $NR^{11}SO_2NHR^{12}$, $P(O)(OR^{11})(OR^{12})$, wherein t is an integer between 1 and 2, R^{11}, R^{12} and R^{13} are each independently selected from the group comprising hydrogen, alkyl, alkenyl, and alkynyl.

2. A compound according to claim 1, having the formula I or a pharmaceutically acceptable salt thereof,

formula I



wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxy, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkylthiocarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthiocarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkoxythiocarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, arylthiocarbonyl, aralkoxycarbonyl, arylalkylthiocarbonyl, aryloxyalkyl, arylthioalkyl, haloalkyl,

hydroxyalkyl, aralkanoyl, aroyl, aryloxycarbonylalkyl, aryloxyalkanoyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het^1, Het^1alkyl, Het^1oxyalkyl, Het^1aryl, Het^1aralkyl, Het^1cycloalkyl, Het^1carbonyl, Het^1alkoxycarbonyl, Het^1alkylthiocarbonyl, Het^1oxycarbonyl, Het^1thiocarbonyl, Het^1alkanoyl, Het^1aralkanoyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1aryloxycarbonyl, Het^1aralkoxycarbonyl, Het^1aroyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^1carbonyloxyalkyl, Het^1alkylcarbonyloxyalkyl, Het^1aralkylcarbonyloxyalkyl, Het^2alkyl; Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aralkyl, Het^2carbonyl, Het^2oxycarbonyl, Het^2thiocarbonyl, Het^2alkanoyl, Het^2alkylthiocarbonyl, Het^2alkoxycarbonyl, Het^2aralkanoyl, Het^2aralkoxycarbonyl, Het^2aryloxycarbonyl, Het^2aroyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, Het^2carbonyloxyalkyl, Het^2alkylcarbonyloxyalkyl, Het^2aralkylcarbonyloxyalkyl, cyano, aminocarbonyl, aminoalkanoyl, aminoalkyl, $CR^6=NR^7$ or $CR^6=N(OR^7)$, with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkyloxy, alkylsilyloxy, arylsilyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, haloalkyloxy, hydroxyalkyloxy, aralkanoyloxy, aroyloxy, aryloxycarbonylalkyloxy, formyloxy, Het^1alkyloxy, Het^1oxy, Het^1oxyalkyloxy, Het^1aryloxy, Het^1aralkyloxy, Het^1cycloalkyloxy, Het^1carbonyloxy, Het^1oxycarbonyloxy, Het^1alkanoyloxy, Het^1aralkanoyloxy, Het^1aryloxyalkyloxy, Het^1aroyl, Het^2oxy, Het^2alkyloxy; Het^2oxyalkyloxy, Het^2aralkyloxy, Het^2cycloalkyloxy, Het^2alkanoyloxy, Het^2aralkanoyloxy, Het^2carbonyloxyl, Het^2aryloxy, Het^2aryloxyalkyloxy,

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl, aryl, Het^1, Het^2, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkyl$S(=O)_t$, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio,

alkylamino, cycloalkyl, cycloalkylalkyl, Het^1, Het^2, Het^1alkyl, Het^2alkyl, Het^1amino, Het^2amino, Het^1alkylamino, Het^2alkylamino, Het^1thio, Het^2thio, Het^1alkylthio, Het^2alkylthio, Het^1oxy and Het^2oxy, OR^8, SR^8, $SO_2NR^8R^9$, $SO_2N(OH)R^8$, CN, $CR^8=NR^9$, $S(O)R^8$, SO_2R^8, $CR^8=N(OR^9)$, N_3, NO_2, NR^8R^9, $N(OH)R^8$, $C(O)R^8$, $C(S)R^8$, CO_2R^8, $C(O)SR^8$, $C(O)NR^8R^9$, $C(S)NR^8R^9$, $C(O)N(OH)R^9$, $C(S)N(OH)R^8$, $NR^8C(O)R^9$, $NR^8C(S)R^9$, $N(OH)C(O)R^9$, $N(OH)C(S)R^8$, $NR^8CO_2R^9$, $NR^8C(O)NR^9R^{10}$, and $NR^8C(S)NR^9R^{10}$, $N(OH)CO_2R^8$, $NR^8C(O)SR^9$, $N(OH)C(O)NR^8R^9$, $N(OH)C(S)NR^8R^9$, $NR^8C(O)N(OH)R^9$, $NR^8C(S)N(OH)R^9$, $NR^8SO_2R^9$, $NHSO_2NR^8R^8$, $NR^8SO_2NHR^9$, $P(O)(OR^8)(OR^9)$,

with t being an integer between 1 and 2, and R^8 R^9 and R^{10} being each independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^4 is oxo and R^5 is hydrogen or alkyl.

3. A compound according to claim 1,

wherein R^1 is selected from the group comprising hydrogen, alkyl, hydroxyalkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het^1oxyalkyl, Het^1alkoxycarbonyl, Het^1oxycarbonyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1aryloxycarbonyl, Het^1aralkoxycarbonyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^1carbonyloxyalkyl, Het^1alkylcarbonyloxyalkyl, Het^1aralkylcarbonyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2oxycarbonyl, Het^2alkoxycarbonyl, Het^2aralkoxycarbonyl, Het^2aryloxycarbonyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, Het^2carbonyloxyalkyl, Het^2alkylcarbonyloxyalkyl, Het^2aralkylcarbonyloxyalkyl, $CR^6=NR^7$, $CR^6=N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, aryloxycarbonylalkyloxy, formyloxy, Het^1alkyloxy, Het^1oxy, Het^1oxyalkyloxy, Het^1aryloxy, Het^1aralkyloxy, Het^1cycloalkyloxy, Het^1carbonyloxy, Het^1alkanoyloxy, Het^1aralkanoyloxy, Het^1aryloxyalkyloxy, Het^2oxy, Het^2alkyloxy; Het^2oxyalkyloxy, Het^2aralkyloxy, Het^2cycloalkyloxy, Het^2alkanoyloxy, Het^2aralkanoyloxy, Het^2carbonyloxyl, Het^2aryloxy, Het^2aryloxyalkyloxy,

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and

wherein R^4 is selected from the group comprising, oxo, hydroxyalkyl, alkyl, alkenyl, alkylcarbonylalkyl, arylcarbonylalkyl and R^5 is hydrogen, oxo, hydroxyl, hydroxyalkyl, alkyl, alkenyl, alkylcarbonylalkyl, arylcarbonylalkyl.

4. A compound according to claim 1 or 2,

wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het^1oxyalkyl, Het^1alkoxycarbonyl, Het^1oxycarbonyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1aryloxycarbonyl, Het^1aralkoxycarbonyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^1carbonyloxyalkyl, Het^1alkylcarbonyloxyalkyl, Het^1aralkylcarbonyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2oxycarbonyl, Het^2alkoxycarbonyl, Het^2aralkoxycarbonyl, Het^2aryloxycarbonyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, Het^2carbonyloxyalkyl, Het^2alkylcarbonyloxyalkyl, Het^2aralkylcarbonyloxyalkyl, $CR^6=NR^7$, $CR^6=N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy,

silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, aryloxycarbonylalkyloxy, formyloxy, Het^1alkyloxy, Het^1oxy, Het^1oxyalkyloxy, Het^1aryloxy, Het^1aralkyloxy, Het^1cycloalkyloxy, Het^1carbonyloxy, Het^1alkanoyloxy, Het^1aralkanoyloxy, Het^1aryloxyalkyloxy, Het^2oxy, Het^2alkyloxy; Het^2oxyalkyloxy, Het^2aralkyloxy, Het^2cycloalkyloxy, Het^2alkanoyloxy, Het^2aralkanoyloxy, Het^2carbonyloxyl, Het^2aryloxy, Het^2aryloxyalkyloxy,

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and

wherein R^4 is oxo and R^5 is hydrogen or alkyl.

5. A compound according to claim 1, 2 or 4,

wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, $CR^6=NR^7$, $CR^6=N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, formyloxy, Het^1carbonyloxy, Het^1alkanoyloxy, Het^1aralkanoyloxy, Het^2carbonyloxyl, Het^2alkanoyloxy, Het^2aralkanoyloxy,

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and

wherein R^4 is oxo and R^5 is hydrogen or alkyl.

6. A compound according to any of claims 1, 2, 4 to 5, wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, carboxyl, formyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, optionally substituted by one or more

substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 is oxo and R^5 is hydrogen.

7. A compound according to any of claims 1, 2, 4 to 6, wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, formyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl, R^4 is oxo and R^5 is hydrogen.

8. A compound according to any of claims 1, 2, 4 to 7, wherein R^1 is selected from the group comprising alkyl, carboxyl, formyl; wherein R^2 and R^3 are hydroxyl, and wherein R^4 is oxo and R^5 is hydrogen.

9. A compound according to claim 8, wherein R^1 is formyl, R^2 and R^3 are hydroxyl R^4 is oxo and R^5 is hydrogen.

10. A compound according to claim 1 or 3,

wherein R^1 is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, alkyloxyalkyl, hydroxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, $CR^6{=}NR^7$, $CR^6{=}N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, formyloxy, Het^1carbonyloxy, Het^1alkanoyloxy, Het^1aralkanoyloxy, Het^2carbonyloxyl, Het^2alkanoyloxy, Het^2aralkanoyloxy,

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and

wherein R^4 is oxo, hydroxyalkyl, alkyl, alkenyl, arylcarbonylaryl, alkylcarbonylalkyl and R^5 is hydrogen or alkyl.

11. A compound according to any of claims 1, 3 or 10, wherein R^1 is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, carboxyl, formyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 is hydroxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl and R^5 is hydrogen.

12. A compound according to any of claims 1, 3, 10 to 11, wherein R^1 is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, formyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl, R^4 is hydroxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl and R^5 is hydrogen.

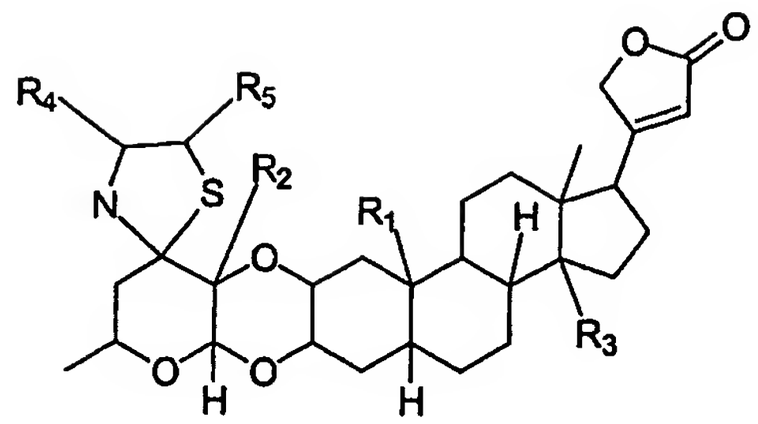
13. A compound according to any of claims 1, 3, 10 to 12, wherein R^1 is selected from the group comprising alkyl, hydroxyalkyl, carboxyl, formyl; wherein R^2 and R^3 are hydroxyl, and wherein R^4 is arylcarbonylalkyl and R^5 is hydrogen.

14. A compound according to claim 13, wherein R^1 is hydroxyalkyl, R^2 and R^3 are hydroxyl, R^4 is arylcarbonylalkyl and R^5 is hydrogen.

15. A compound according to claim 14, wherein R^1 is hydroxymethylene, R^2 and R^3 are hydroxyl, R^4 is phenylcarbonylmethylene and R^5 is hydrogen.

16. A compound according to claim 1 having the formula I or a pharmaceutically acceptable salt or ester thereof,

formula I



wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het^1oxyalkyl, Het^1alkoxycarbonyl, Het^1oxycarbonyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1aryloxycarbonyl, Het^1aralkoxycarbonyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^1carbonyloxyalkyl, Het^1alkylcarbonyloxyalkyl, Het^1aralkylcarbonyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2oxycarbonyl, Het^2alkoxycarbonyl, Het^2aralkoxycarbonyl, Het^2aryloxycarbonyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, Het^2carbonyloxyalkyl, Het^2alkylcarbonyloxyalkyl, Het^2aralkylcarbonyloxyalkyl,$CR^6=NR^7$, $CR^6=N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 have the same definition as in claim 1;

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group as indicated in claim 1, and

wherein R^4 and R^5 are hydrogen or alkyl.

17. A compound according to claim 16,

wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl,

aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het^{1}oxyalkyl, Het^{1}aryloxyalkyl, Het^{1}alkyloxyalkyl, Het^{1}arylthioalkyl, Het^{1}oxyalkylcarbonyl, Het^{1}alkyloxyalkylcarbonyl, Het^{1}aryloxyalkylcarbonyl, Het^{2}oxyalkyl, Het^{2}alkyloxyalkyl, Het^{2}aryloxyalkyl, Het^{2}arylthioalkyl, Het^{2}oxyalkylcarbonyl, Het^{2}alkyloxyalkylcarbonyl, Het^{2}aryloxyalkylcarbonyl, $CR^6=NR^7$, $CR^6=N(OR^7)$, with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^{1}alkyl, Het^{1}aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 have the same definition as in claim 1;

wherein R^1 R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group as indicated in claims 1, and

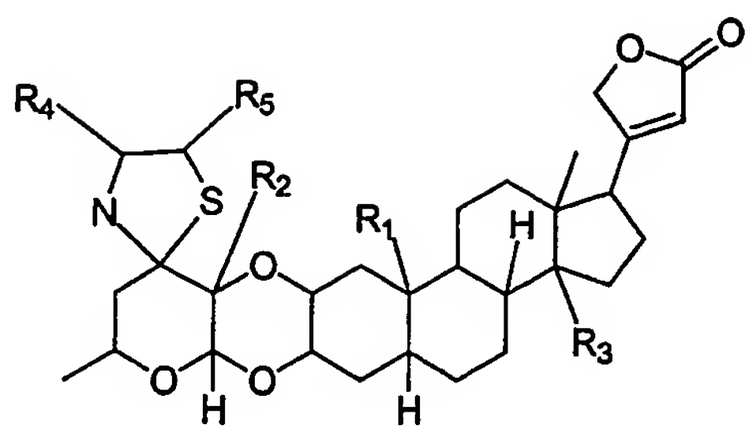
wherein R^4 and R^5 are hydrogen or alkyl.

18. A compound according to claim 16 or 17, wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, silyloxyalkyl, carboxyl, Het^{1}oxyalkyl, Het^{1}aryloxyalkyl, Het^{1}alkyloxyalkyl, Het^{1}arylthioalkyl, Het^{2}oxyalkyl, Het^{2}alkyloxyalkyl, Het^{2}aryloxyalkyl, Het^{2}arylthioalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 and R^5 are hydrogen or alkyl.

19. A compound according to any of claims 16 to 18, wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, Het^{1}oxyalkyl, Het^{1}aryloxyalkyl, Het^{1}alkyloxyalkyl, Het^{2}oxyalkyl, Het^{2}alkyloxyalkyl, Het^{2}aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 and R^5 are hydrogen.

20. A compound according to claim 1, having the formula I or a pharmaceutically acceptable salt or ester thereof,

formula I



wherein R^1 is selected from the group comprising alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het^1oxyalkyl, Het^1alkoxycarbonyl, Het^1oxycarbonyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^1arylthioalkyl, Het^1aryloxycarbonyl, Het^1aralkoxycarbonyl, Het^1oxyalkylcarbonyl, Het^1alkyloxyalkylcarbonyl, Het^1aryloxyalkylcarbonyl, Het^1carbonyloxyalkyl, Het^1alkylcarbonyloxyalkyl, Het^1aralkylcarbonyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl, Het^2oxycarbonyl, Het^2alkoxycarbonyl, Het^2aralkoxycarbonyl, Het^2aryloxycarbonyl, Het^2aryloxyalkyl, Het^2arylthioalkyl, Het^2oxyalkylcarbonyl, Het^2alkyloxyalkylcarbonyl, Het^2aryloxyalkylcarbonyl, Het^2carbonyloxyalkyl, Het^2alkylcarbonyloxyalkyl, Het^2aralkylcarbonyloxyalkyl,$CR^6=NR^7$, $CR^6=N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het^1, Het^1alkyl, Het^1aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^1 is optionally substituted by one or more substituents independently selected from the group as indicated in claim 1, and

wherein R^2 and R^3 are hydroxyl and wherein R^4 is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula I; and wherein R^5 is hydrogen.

21. A compound according to claim 20, wherein R^1 is selected from the group comprising alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, Het^1oxyalkyl, Het^1aryloxyalkyl, Het^1alkyloxyalkyl, Het^2oxyalkyl, Het^2alkyloxyalkyl,

Het^{2}aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 and R^5 are hydrogen.

22. A compound according to claim 21, wherein R^1 has the same definition as in claim 20, wherein R^2 and R^3 are hydroxyl; wherein R^4 is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula I; and wherein R^5 is hydrogen.

23. Compound of formula I or a pharmaceutically acceptable salt or ester thereof, wherein R^1, R^2, R^3, R^4 and R^5 are selected as in Table A.

24. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a therapeutically effective amount of a compound according to any of claims 1-23.

25. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a therapeutically effective amount of a compound according to claim 9.

26. A compound according to any of claims 1 to 23 for use as a medicament.

27. Use of a compound according to any of claims 1 to 23 for the preparation of a medicament for treating cancer.

28. Use of a compound according to any of claims 1 to 23 in the treatment of cancer.

29. Method of treating cancer comprising administrating to an individual in need of such treatment a pharmaceutical composition according to claim 24 or 25.